10697210

=> d his

(FILE 'HOME' ENTERED AT 16:31:23 ON 17 JUN 2004)

FILE 'REGISTRY' ENTERED AT 16:31:34 ON 17 JUN 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L3
L5 STRUCTURE UPLOADED
L6 0 S L5
L7 2 S L5 SSS FULL

FILE 'MARPAT' ENTERED AT 16:35:32 ON 17 JUN 2004
L8 2 S L7
L9 17 S L7 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:36:35 ON 17 JUN 2004
L10 4 S L7
L11 17 S L9
L12 17 S L11 NOT L10

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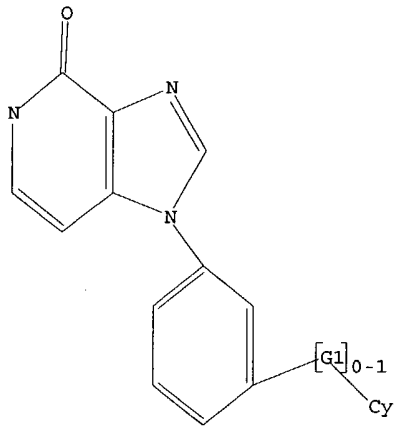
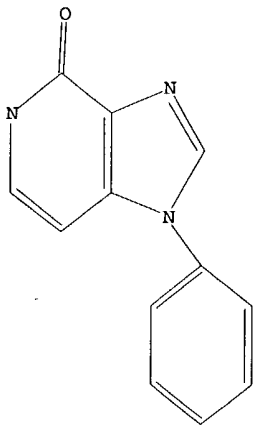
L1 HAS NO ANSWERS
L1 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> d l5
L5 HAS NO ANSWERS
L5 STR

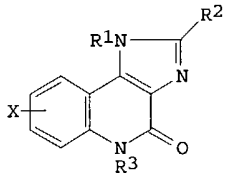


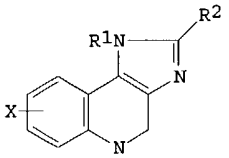
10697210

10697210

=> d l10 bib abs hitstr

L10 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:633918 CAPLUS
DN 117:233918
TI New bronchodilators. 1. 1,5-Substituted 1H-imidazo[4,5-c]quinolin-4(5H)-ones
AU Suzuki, Fumio; Kuroda, Takeshi; Nakasato, Yoshisuke; Manabe, Haruhiko; Ohmori, Kenji; Kitamura, Shigeto; Ichikawa, Shunji; Ohno, Tetsuji
CS Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411, Japan
SO Journal of Medicinal Chemistry (1992), 35(22), 4045-53
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI

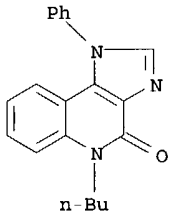

I


II

AB A series of novel xanthine-based tricyclic heterocycles, 1H-imidazo[4,5-c]quinolin-4(5H)-ones I [R1 = Me, CH2Ph, Et, Bu, Ph, etc., R2 = H, Ph, Me, 2-furyl, OH, etc., R3 = Me, Et, Bu, CH2Ph, CH2CO2H, etc., X = H, 7-Cl, 8-Cl, 9-Me, 8-Me, 7,8-(MeO)2], was designed, synthesized, and tested as potential active bronchodilators. Thus, reacting imidazoquinolines II with AcOH/H2O2 and Ac2O followed by alkylation gave I. Inhibition of the Schulz-Dale (SD) reaction-induced contraction in trachea and inhibition of antigen inhalation-induced bronchospasm in passively sensitized guinea pigs served as primary in vitro and in vivo assays, resp. The bronchodilatory activity of these heterocycles was considerably varied with the nature of substituents at the 5-position. The most active substituents at the 2 5-positions on the benzene ring were found to be hydrogen, n-Bu, and hydrogen, resp. I (R1 = Me, R2 = H, R3 = Bu, X = H) (III, KF15570) reduced bronchoconstriction produced by antigen (Konzett-Roessler preparation in anesthetized guinea pigs, ED50 = 0.42 mg/kg, i.v.) more efficiently than aminophylline (ethylenediamine salt of theophylline, ED50 = 7.8 mg/kg, i.v.) but had fewer side effects on the heart and CNS than theophylline. III and its derivs. showed weak adenosine antagonism and phosphodiesterase (PDE) inhibition which could not account for their potent bronchodilation.

IT **133306-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bronchodilatory activity of)

RN 133306-20-4 CAPLUS
CN 4H-Imidazo[4,5-c]quinolin-4-one, 5-butyl-1,5-dihydro-1-phenyl- (9CI) (CA INDEX NAME)



=> d l12 bib abs

L12 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:41468 CAPLUS

10697210

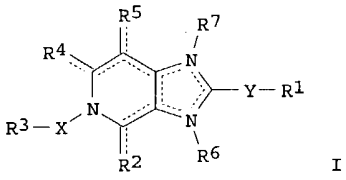
DN **140:94047**
TI Preparation of imidazopyridines as viral inhibitors
IN Neyts, Johan; Puerstinger, Gerhard; De Clercq, Erik
PA K.U.Leuven Research & Development, Belg.; Gilead Sciences, Inc.
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005286	A2	20040115	WO 2003-BE117	20030703
	WO 2004005286	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2002-15293 A 20020703
GB 2003-13251 A 20030610
OS MARPAT 140:94047
GI



I

AB The present invention relates to a pharmaceutical composition for the treatment or prevention of viral infections comprising as an active principle at least one imidazo[4,5-c]pyridine I [R1 = H, (un)substituted aryl, heterocyclyl, cycloalkyl, cycloalkenyl; Y = a bond, O, SOm, (un)substituted NH, etc.; R2, R4 = H, alkyl, alkenyl, alkoxy, halo, etc.; X = divalent (un)saturated (un)substituted hydrocarbon group optionally including one or more heteroatoms; m = 0-2; R3 = (un)substituted aryl, aryloxy, arylthio, etc.; R5 = H, alkyl, alkoxy, etc.; R6, R7 = H, alkyl, cycloalkyl, Ph, etc.]. The invention also relates to processes for the preparation of compds. I and their use as a medicine or to treat or prevent viral infections. Thus, treating 2-(2,6-difluorophenyl)-1(3)H-imidazo[4,5-c]pyridine (preparation given) with 50% NaOH in DMF followed by addition of 2,6-difluorobenzyl bromide afforded 65% 2-(2,6-difluorophenyl)-5-[(2,6-difluorophenyl)methyl]-5H-imidazo[4,5-c]pyridine. The compds. I were tested for their anti-BVDV, anti-HCV, and anti-coxsackie activity (data given).

=> d l12 bib abs 2-17

L12 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:836829 CAPLUS
DN **139:323519**
TI Preparation of imidazoarenes as prostaglandin E2 subtype EP4 receptor antagonists for treatment of IL-6 involved diseases
IN Shimojo, Masato; Taniguchi, Kana
PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SO PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086371	A2	20031023	WO 2003-IB1310	20030403

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

US 2003236260 A1 20031225 US 2003-411491 20030410
PRAI US 2002-372364P P 20020412
OS MARPAT 139:323519
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II (56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).

L12 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:242306 CAPLUS
DN **138:271676**
TI Preparation of pyrazolo[4,3-c]quinolines, chromeno[4,3-c]pyrazoles, and analogs for the treatment of inflammation
IN Metz, Suzanne; Clare, Michael; Crich, Joyce Z.; Hagen, Timothy J.; Hanson, Gunnar J.; Huang, He; Houdek, Stephen J.; Stealey, Michael A.; Vazquez, Michael L.; Weier, Richard M.; Xu, Xiangdong
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003024936	A1	20030327	WO 2002-US29625	20020919
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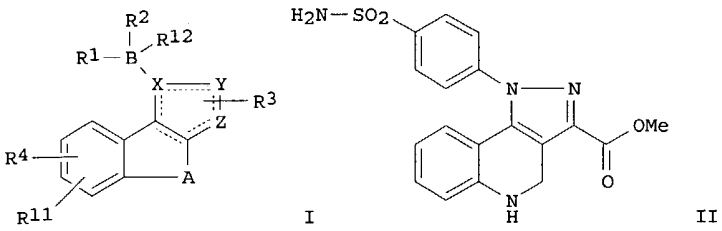
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003114432	A1	20030619	US 2002-247028	20020919
EP 1427706	A1	20040616	EP 2002-763656	20020919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

10697210

PRAI US 2001-323297P P 20010919
US 2002-383226P P 20020524
WO 2002-US29625 W 20020919
OS MARPAT 138:271676
GI



I II

AB Title compds. I [wherein A = (un)substituted (CH2)mQ(CH2)n; Q = SOp, O, CR15=N, N=CR15, CO2, CONH, CON(alkyl), or NR5; m = 0-3; n = 0-3; p = 0-2; B = (un)substituted (hetero)aryl; X = N or C; Y and Z = independently N, C, CH, CR3, S, or O; R1 = H, halo, (halo)alkyl, (hetero)aryl, alkenyl, alkynyl, CN, NO2, alkoxy(carbonyl), carbamoyl, acyl, alkylthio, sulfamoyl, ureido, etc.; R2 = H, halo, (halo)alkyl, hydroxyalkyl, alkoxy, CN, NO2, alkylthio, amino, carbamoyl, ureido, CO2H, etc.; R3 = (un)substituted amidine, alkylamino, aminoalkyl, carbamoyl, NH2, or acylamino(methyl); R4 = H, halo, alkylsulfinyl, alkylsulfonyl, CN, alkoxycarbonyl, (halo)alkyl, hydroxyalkyl, haloalkoxy, heterocyclyl, NO2, acylamino, (hetero)aryl, alkenyl, alkoxy, alkylthio, sulfamoyl, acyl, ureido, carbamoyl, etc.; R5 = H, or (un)substituted (aryl)alkyl, (hetero)aryl, heterocyclylalkyl, or heteroarylalkyl; R11 = H, halo, (halo)alkyl, CN, alkoxycarbonyl, alkenyl, alkynyl, alkoxy, carbamoyl, etc.; R12 = H, halo, alkyl, or alkoxy; R15 = H, halo, (cyclo)alkyl, (hetero)aryl, heterocyclyl, alkenyl, alkynyl, OH, amino, NO2, CN, alkylthio, etc.; with provisos; and isomers, tautomers, carriers, esters, prodrugs, and pharmaceutically acceptable salts thereof] were prepared as IκB protein kinase β (IKKβ or IKK2) inhibitors. For example, reaction of aniline with acrylic acid and 4-toluenesulfonyl chloride in pyridine gave 3-[(4-methylphenylsulfonyl)phenylamino]propanoic acid (58%). Cyclization with TFA (57%), detosylation with HCl (100%), protection with di-t-Bu dicarbonate (74%), alkylation with di-Et oxalate (88%), and cycloaddn. with 4-sulfonamidophenylhydrazine•HCl in THF and AcOH provided the deprotected II (60%). In an IKKβ resin enzyme assay, I exhibited IKKβ activity with IC50 values ranging from ≤ 1 μM to ≤ 100 μM. Thus, I are useful for treating cancer, inflammation, and inflammation-associated disorders, such as arthritis (no data).
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:487553 CAPLUS
DN **137:47200**
TI Aryl or heteroaryl fused imidazoles as selective GABAA receptor ligands
IN Li, Guiying; Peterson, John M.; Albaugh, Pamela; Currie, Kevin S.; Cai, Guolin; Gustavson, Linda M.; Lee, Kyungae; Hutchison, Alan; Singh, Vinod; Maynard, George D.; Yuan, Jun; Ling, Hong Xie; Ghosh, Manuka; Liu, Nian; Luke, George P.; Mitchell, Scott; Allen, Martin Patrick; Liras, Spiros
PA Neurogen Corporation, USA; Pfizer Inc.
SO PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002050062	A2	20020627	WO 2001-US50038	20011221

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2002032768	A5	20020701	AU 2002-32768	20011221
	US 2003069257	A1	20030410	US 2001-38069	20011221
	EP 1368342	A2	20031210	EP 2001-992307	20011221
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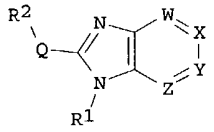
	EE 200300304	A	20031215	EE 2003-304	20011221
	NO 2003002834	A	20030808	NO 2003-2834	20030620
PRAI	US 2000-257492P	P	20001221		
	WO 2001-US50038	W	20011221		

OS MARPAT 137:47200

GI



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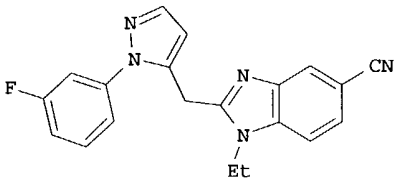

II

AB Title compds. I [W = N or CR3, X = N or CR4, Y = N or CR5, Z = N or CR6 with the provision that no more than two of W, X, Y and Z are N; Q = O or CR7R8; R1 = H, haloalkyl, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, arylalkyl, heteroaryl, etc.; R2 = nitrogen containing 5-7 membered (un)substituted heteroaryl or heterocycloalkyl ring with up to 4 heteroatoms independently selected from N, S, and O; R3, R4, R5 and R6 are independently selected from H, halo, OH, NO2, CN, (un)substituted alkyl, alkoxy, etc.] and there pharmaceutically acceptable salts are prepared and disclosed as selective GABAA receptor ligands. Thus, II was prepared in five steps from malonyl dichloride and Et vinyl ether with imidazole ring formation via cyclocondensation of 3-amino-4-ethylaminobenzonitrile with 1-(3-fluorophenyl)-5-carboxymethylpyrazole. The invention is particularly related to such compds. that bind with high selectivity and high affinity to the benzodiazepine site of GABAA receptors. Preferred compds. of the invention exhibit Ki values of < 100 nM for binding at the benzodiazepine site with more preferred compds. exhibiting Ki values of < 10 nM. This invention also relates to pharmaceutical compns. comprising such compds. and to the use of such compds. in treatment of certain central nervous system (CNS) diseases. This invention also relates to the use of I in combination with one or more other CNS agents to potentiate the effects of the other CNS agents. Addnl. this invention relates to the use such compds. as probes for the localization of GABAA receptors in tissue sections.

L12 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:314939 CAPLUS
DN **136:340677**
TI Preparation of imidazoarenes as antiinflammatory and analgesic agents.
IN Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana
PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032900	A2	20020425	WO 2001-IB1940	20011015
	WO 2002032900	A3	20020808		
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PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2002077329	A1	20020620	US 2001-977761	20011015
	US 2002107273	A1	20020808	US 2001-977621	20011015
	US 6710054	B2	20040323		
	EP 1326864	A2	20030716	EP 2001-978702	20011015
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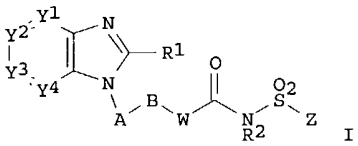
	EE 200300190	A	20031015	EE 2003-190	20011015
	BR 2001014704	A	20040225	BR 2001-14704	20011015
	JP 2004517054	T2	20040610	JP 2002-536282	20011015
	BG 107699	A	20031231	BG 2003-107699	20030403
	NO 2003001582	A	20030617	NO 2003-1582	20030408
PRAI	US 2000-241825P	P	20001019		
	WO 2001-IB1940	W	20011015		

OS MARPAT 136:340677
GI



I

AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalklylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepared as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

L12 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:314767 CAPLUS
DN **136:340676**
TI Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis
IN Audoly, Laurent; Okumura, Takako; Shimojo, Masato
PA Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SO PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

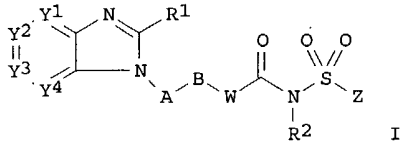
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
	WO 2002032422	A3	20020725		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002077329	A1	20020620	US 2001-977761	20011015
	US 2002107273	A1	20020808	US 2001-977621	20011015
	US 6710054	B2	20040323		
	BR 2001014758	A	20030701	BR 2001-14758	20011015

10697210

	EP 1326606	A2	20030716	EP 2001-974609	20011015
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200300188	A	20031015	EE 2003-188	20011015
	JP 2004511518	T2	20040415	JP 2002-535660	20011015
	NO 2003001658	A	20030610	NO 2003-1658	20030410
	BG 107732	A	20040130	BG 2003-107732	20030416
PRAI	US 2000-241825P	P	20001019		
	WO 2001-IB1942	W	20011015		

OS MARPAT 136:340676

GI



I

AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

L12 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:12448 CAPLUS

DN **134:86251**

TI Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.

IN Janssens, Frans Eduard; Lacrampe, Jean Fernand Armand; Guillemont, Jerome Emile Georges; Venet, Marc Gaston; Andries, Koenraad Jozef Lodenwijk Marcel

PA Janssen Pharmaceutica N.V., Belg.

SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001000615	A1	20010104	WO 2000-EP5677	20000620
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000011997	A	20020305	BR 2000-11997	20000620
	EP 1196410	A1	20020417	EP 2000-936899	20000620
	EP 1196410	B1	20040218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200103805	T2	20020621	TR 2001-20010380520000620	
	JP 2003503403	T2	20030128	JP 2001-507023	20000620
	EE 200100694	A	20030217	EE 2001-694	20000620
	AT 259796	E	20040315	AT 2000-936899	20000620
	EP 1400519	A1	20040324	EP 2003-102464	20000620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	NZ 515392	A	20040326	NZ 2000-515392	20000620

10697210

	HR 2001000934	A1	20030630	HR 2001-934	20011219
	ZA 2001010473	A	20030320	ZA 2001-10473	20011220
	NO 2001006370	A	20011227	NO 2001-6370	20011227
	BG 106288	A	20021031	BG 2002-106288	20020108
PRAI	EP 1999-202089	A	19990628		
	EP 2000-936899	A3	20000620		
	WO 2000-EP5677	W	20000620		

OS MARPAT 134:86251
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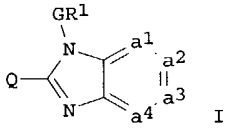


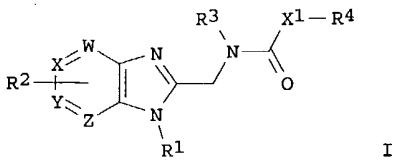
I

AB Title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH; CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (substituted) (hetero)cycles; A = (substituted) alkylene; X1 = imino, S, SO, SO2, O, CH2, CO, CH(OH), etc.; R1 = (substituted) bicyclic heterocycle; G = bond, (substituted) alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, etc.; R4 = H, alkyl, aralkyl], were prepared Thus, 1-[4-[[1-(2-quinolylmethyl)-1H-benzimidazol-2-yl]amino]-1-piperidinyl]-3-methyl-2-butanone was hydrogenated with PhCH2NH2 in MeOH over Pd/C to give N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-(2-quinolylmethyl)-1H-benzimidazol-2-amine and N-[1-(2-amino-3-methylbutyl)-4-piperidinyl]-1-[(1,2,3,4-tetrahydro-2-quinolyl)methyl]-1H-benzimidazol-2-amine tetrahydrochloride. Tested I inhibited respiratory syncytial virus replication with IC50 = 0.0004-1.5849 μM.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:725632 CAPLUS
DN **133:296433**
TI Preparation of aryl and heteroaryl fused aminoalkyl-imidazole derivatives as selective modulators of GABAa receptors
IN Desimone, Robert W.; Hutchison, Alan; Shaw, Kenneth; Rosewater, Daniel L.
PA Neurogen Corp., USA
SO PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059905	A1	20001012	WO 2000-US8610	20000331
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1165557	A1	20020102	EP 2000-919975	20000331
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6380210	B1	20020430	US 2000-540454	20000331
	JP 2002541151	T2	20021203	JP 2000-609416	20000331
	US 6627624	B1	20030930	US 2000-541797	20000331
	US 2003092912	A1	20030515	US 2002-115361	20020403
	US 2004023993	A1	20040205	US 2003-609941	20030630
PRAI	US 1999-127526P	P	19990402		
	US 1999-285357	A	19990402		
	US 2000-540454	A1	20000331		
	WO 2000-US8610	W	20000331		
	US 2002-115361	B1	20020403		

OS MARPAT 133:296433
GI



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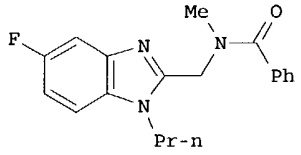

II

AB Novel aryl or heteroaryl fused aminoalkyl-imidazoles I [W or X or Y or Z = N or CH with not more than two as N; X1 = bond, CH2, CHCH; R1 = Ph, alkyl, cyclopentyl, cyclohexyl, PhCH2, 3-FC6H4CH2, cyclopropylmethyl; R2 = H, OH, (un)substituted alkyl or alkoxy {substituents selected from amino, alkylamino, N attached heterocycloalkyl}, O(CH2)nCO2R5 {n = 1-4; R5 = H, alkyl}, NR5COR6 {R6 = H, alkyl}, COR5, CONR5R6, CO2R5, N-attached 5-, 6-, 7-membered heterocycle, N-attached amino derivs., etc.; R3 = alkyl, allyl, cyclopropylmethyl, cyclopentyl, (un)substituted benzyl {substituents selected from halo, NO2, CF3, OCF3, CN, OH, (un)substituted alkyl or alkoxy {substituents defined as above}, O(CH2)nCO2R5 {n = 1-4; R5 = H, alkyl}, NR5COR6 {R6 = H, alkyl}, COR5, CONR5R6, CO2R5, N-attached 5-, 6-, 7-membered heterocycle, SO2R5, NHSO2R5, SO2NHR5, SO2NHCOR5, CONHSO2R5, O(CH2)nCO2R7 {n = 1-4; R7 = SO2R5, NHSO2R5, SO2NHR5, SO2NHCOR5, CONHSO2R5}, tetrazole, triazole, imidazole, thiazole, oxazole, thiophene, pyridyl; R4 = (un)substituted benzene, furan, thiophene, thiazole or oxazole {substituents selected from (un)substituted-alkyl, -alkoxy {substituents defined as above}}, O(CH2)nCO2R5 {n = 1-4; R5 = H, alkyl}, NR5COR6 {R6 = H, alkyl}}, COR5, CONR5R6, CO2R5, N-attached 5-, 6-, 7-membered heterocycle, fused 1,3-dioxolene], or the pharmaceutically acceptable non-toxic salts thereof, are prepared Thus, imidazole II was prepared by cyclocondensation of the corresponding N-propylfluoro-1,2-benzenediamine with Et chloroacetimidate hydrochloride followed by amination and benzoylation. The disclosed compds. are highly selective agonists, antagonists or inverse agonists (no data) for GABAa brain receptors, or prodrugs of such. The compds. are therefore useful in the diagnosis and treatment of anxiety, Down syndrome, sleep, cognitive and seizure disorders, depression, or overdose with benzodiazepine drugs, and for enhancement of memory and alertness.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:718249 CAPLUS
DN **133:281781**
TI Preparation of benzodioxolylbenzimidazoles and related compounds as phosphodiesterase inhibitors.
IN Huang, Horng-Chih; Chamberlain, Timothy S.; Settle, Steven Lynn; Joy, William Dean; Siegel, Ned R.; Bell, Leslie D.
PA Monsanto Co., USA
SO U.S., 28 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6130333	A	20001010	US 1998-200863	19981127
PRAI US 1998-200863		19981127		

OS MARPAT 133:281781
GI

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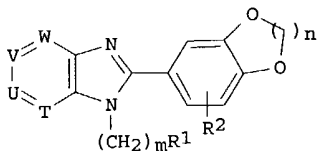


I

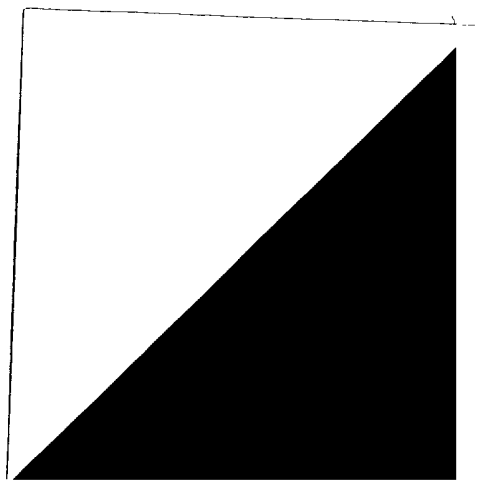
AB Title compds. e.g., [I; m = 0-6; n = 1-3; R1 = (substituted) alkyl, alkoxyalkyl, carboxyalkyl, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; T, U, V, W = N, CR3; ≥1 of T, U, V, W = CR3; R3 = H, OH, halo, NO2, alkyl, alkylsulfonyl, alkoxy, alkenyl, alkynyl, amino; with specific exceptions], were prepared Thus, piperonal was refluxed 12 h with 1,2-phenylenediamine in PhNO2 to give 49% 2-(1,3-benzodioxol-5-yl)benzimidazole. The latter in DMF was treated with KOCMe3 and then with Et 4-bromobutanoate to give 74% Et 2-(1,3-benzodioxol-5-yl)-1H-benzimidazole-1-butanoate. Tested I inhibited cGMP PDE with IC50 = 0.003-0.024 μM.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:475665 CAPLUS
DN **133:105035**
TI Imidazo[4,5-c]pyridine-4-ones as inhibitors of blood coagulation factor Xa
IN Mederski, Werner; Juraszyk, Horst; Wurziger, Hanns; Tsaklakidis, Christos; Dorsch, Dieter; Bernotat-Danielowski, Sabine; Melzer, Guido; Anzali, Soheila
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000040583	A2	20000713	WO 1999-EP10236	19991221
WO 2000040583	A3	20000921		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19900471	A1	20000713	DE 1999-19900471	19990108
CA 2357771	AA	20000713	CA 1999-2357771	19991221
BR 9916774	A	20011030	BR 1999-16774	19991221
EP 1149099	A2	20011031	EP 1999-964639	19991221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002534429	T2	20021015	JP 2000-592291	19991221
NO 2001003384	A	20010706	NO 2001-3384	20010706
ZA 2001006454	A	20021106	ZA 2001-6454	20010806
PRAI DE 1999-19900471	A	19990108		
WO 1999-EP10236	W	19991221		

OS MARPAT 133:105035
GI



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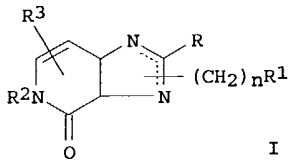

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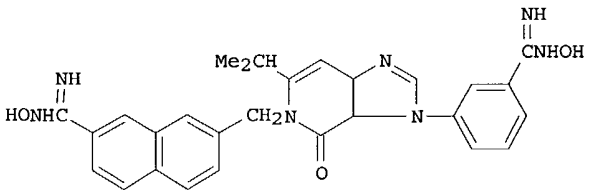

II

AB Imidazo[4,5-c]pyridine-4-ones I [R = H, alkyl, cycloalkyl; R1, R2 = (un)substituted Ph, naphthyl, biphenyl, aminoisoquinolyl; R3 = H, alkyl, cycloalkyl, halogen, CN, CO2H, alkoxycarbonyl, CONH2, (un)substituted C(:NH)NH2, NHC(:NH)NH2, CON:C(NH2)2, 4,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl, 5-methyl-1,2,4-oxadiazol-3-yl; n = 0, 1]were prepared I are inhibitors of blood coagulation factor Xa and can be used for the prophylaxis and/or therapy of thrombo-embolic diseases (no data). Thus, the imidazo[4,5-c]pyridine-4-one II was prepared from 2-chloro-3,4-diaminopyridine, isobutyric acid, 3-(3-bromomethylphenyl)-5-methyl-1,2,4-oxadiazole, 3-cyanophenylboronic acid, and 7-bromomethyl-2-naphthalenecarbonitrile in 6 steps.

L12 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:227937 CAPLUS
DN **132:251157**
TI Imidazo[4,5-c]pyridin-4-ones
IN Mederski, Werner; Juraszyk, Horst; Wurziger, Hanns; Gante, Joachim; Dorsch, Dieter; Buchstaller, Hans-Peter; Bernotat-Danielowski, Sabine; Melzer, Guido; Anzali, Soheila
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19845153	A1	20000406	DE 1998-19845153	19981001
	CA 2346033	AA	20000413	CA 1999-2346033	19990909
	WO 2000020416	A1	20000413	WO 1999-EP6655	19990909
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9958618	A1	20000426	AU 1999-58618	19990909
	AU 752574	B2	20020926		
	BR 9914213	A	20010626	BR 1999-14213	19990909
	EP 1117664	A1	20010725	EP 1999-946151	19990909
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 2001001638	A	20010330	NO 2001-1638	20010330
	ZA 2001003498	A	20020813	ZA 2001-3498	20010430
	US 6492384	B1	20021210	US 2001-806418	20011213
PRAI	DE 1998-19845153	A	19981001		
	WO 1999-EP6655	W	19990909		

OS MARPAT 132:251157
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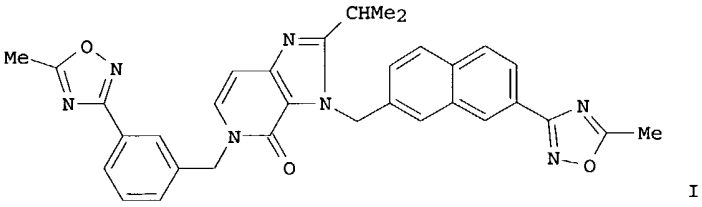

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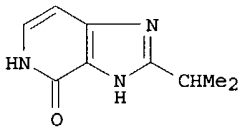
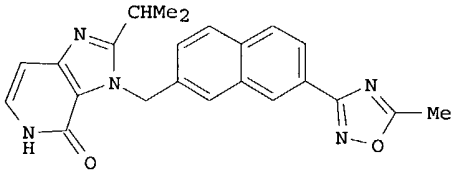


II



III

AB Title compds. such as I were prepared as inhibitors of coagulation factor Xa and for treatment of cardiovascular diseases such as thrombosis and myocardial infarction. Thus, imidazopyridinone II, obtained from 2-chloro-3,4-pyridinediamine and isobutyric acid, reacted with 3-[7-(bromomethyl)-2-naphthalenyl]-5-methyl-1,2,4-oxadiazole to give III, which reacted with 3-[3-(bromomethyl)phenyl]-5-methyl-1,2,4-oxadiazole to give I. Examples of pharmaceutical formulations were given.

L12 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:421560 CAPLUS
DN **131:78431**
TI Combination of a RAMBA and a tocopherol
IN De Porre, Peter Marie-Zoe Robert; Bruynseels, Jan Paul Jozef Michel; Wouters, Walter Boudewijn Leopold
PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

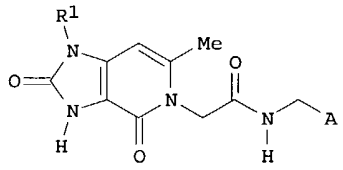
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932098	A2	19990701	WO 1998-EP8127	19981212
	WO 9932098	A3	19990819		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924133	A1	19990712	AU 1999-24133	19981212
	EP 1037629	A2	20000927	EP 1998-966615	19981212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001526215	T2	20011218	JP 2000-525089	19981212
	US 6265425	B1	20010724	US 2000-581891	20000616
PRAI	EP 1997-204019	A	19971219		
	WO 1998-EP8127	W	19981212		

OS MARPAT 131:78431
AB The present invention is concerned with the use of a tocopherol for the manufacture of a medicament for avoiding, alleviating, suppressing or overcoming the adverse side-effects of therapy with a retinoic acid metabolism blocking agent (RAMBA). The present invention is also concerned with the combination of 4-(heteroaryl-methyl)anilines with vitamin E and its use as a medicine. Capsule and injectable solution formulations of active ingredient (RAMBA) and vitamin E are given. Example RAMBAs are 2-benzothiazolamine derivs.

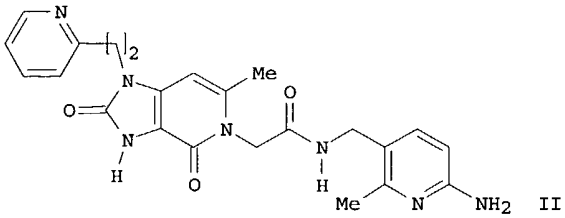
L12 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:375403 CAPLUS
DN **131:31937**
TI Preparation of bicyclic pyridone thrombin inhibitors
IN Coburn, Craig
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9927930	A1	19990610	WO 1998-US25362	19981125
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2309347	AA	19990610	CA 1998-2309347	19981125
	AU 9915395	A1	19990616	AU 1999-15395	19981125
	AU 741766	B2	20011206		
	EP 1039907	A1	20001004	EP 1998-959636	19981125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	JP 2001524522	T2	20011204	JP 2000-522916	19981125
	US 6004976	A	19991221	US 1998-203117	19981201
PRAI	US 1997-67096P	P	19971201		
	GB 1998-9784	A	19980507		
	WO 1998-US25362	W	19981125		

OS MARPAT 131:31937
GI



I



II

AB The title compds. [I; R1 = H, alkyl, alkenyl, etc.; A = (un)substituted Ph, 3-pyridyl, 4-pyridyl] which inhibit human thrombin (biol. data presented), were prepared and formulated. E.g., a 6-step detailed synthesis of II was given.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

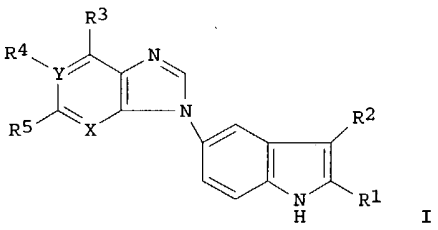
L12 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:986325 CAPLUS
DN **124:29757**
TI Preparation of 5-(arylimidazolyl)indole benzodiazepine receptor agonists and antagonists
IN Macor, John E.
PA Pfizer Inc., USA

10697210

SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9521836	A1	19950817	WO 1994-IB407	19941208
W: AU, CA, CN, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2183084	AA	19950817	CA 1994-2183084	19941208
AU 9510339	A1	19950829	AU 1995-10339	19941208
AU 685881	B2	19980129		
EP 743942	A1	19961127	EP 1995-900904	19941208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1142823	A	19970212	CN 1994-194978	19941208
CN 1067386	B	20010620		
JP 09501949	T2	19970225	JP 1994-508846	19941208
JP 2829788	B2	19981202		
FI 9500568	A	19950811	FI 1995-568	19950209
NO 9603331	A	19961009	NO 1996-3331	19960809
US 5688809	A	19971118	US 1996-687555	19961007
PRAI US 1994-194553	A	19940210		
WO 1994-IB407	W	19941208		

OS MARPAT 124:29757
GI



I

AB The title compds. [I; R1, R2 = alkyl, (un)substituted aryl, etc.; R3-R6 = H, alkyl, (un)substituted aryl, CN, CHO, NO2, (un)substituted CO2H, etc.; X, Y = C, N], useful as benzodiazepine receptor agonists or antagonists (no data), are prepared Thus, NaOMe was reacted with cyclohexanone and 5-cyano-1-(indol-5-yl)benzimidazole, producing 5-cyano-1-[3-(1-cyclohexenyl)indol-5-yl]benzimidazole.

L12 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:551165 CAPLUS
DN **122:290722**
TI Preparation of 2-substituted 3-aminopyridines via electrolytic reduction of 3-nitropyridines.
IN Fechtel, Ulrich; Wembacher, Karlheinz; Bokel, Heinz-Hermann
PA Merck Patent G.m.b.H., Germany
SO Ger. Offen., 6 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 4333697	A1	19950406	DE 1993-4333697	19931002
CN 1115755	A	19960131	CN 1994-116505	19940926
AU 9474245	A1	19950413	AU 1994-74245	19940927
CA 2133373	AA	19950403	CA 1994-2133373	19940930
NO 9403652	A	19950403	NO 1994-3652	19940930
ZA 9407681	A	19950516	ZA 1994-7681	19940930
JP 07188962	A2	19950725	JP 1994-237085	19940930
HU 72019	A2	19960328	HU 1994-2815	19940930
US 5518588	A	19960521	US 1994-316458	19940930
EP 708092	A1	19960424	EP 1994-116308	19941017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
PRAI DE 1993-4333697		19931002		
EP 1994-116308		19941017		

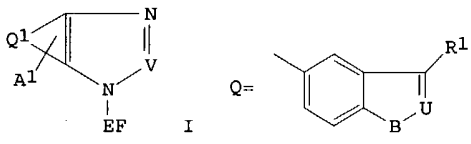
OS CASREACT 122:290722; MARPAT 122:290722
AB 2-Y-substituted 3-aminopyridines (Y = halo, OR1, OCOR1, SR1, SCN, CN; R1 =

H, alkyl, aryl, cycloalkyl), were prepared by electrolytic reduction of 3-nitropyridines in the presence of an acid and optionally an alc. or MY [M = H, Li, Na, K, N(R2)4, S(R2)3; R2 defined as for R1]. Thus, 4-amino-3-nitropyridine in 37% HCl was electrolytically reduced in a flow cell using a Nafion 417 diaphragm at 1.5 A/dm2 to give 92% 3,4-diamino-2-chloropyridine. Processes for preparation of pyridino[3,4-b]azoles and dihydrodipyridoazepines using the reduction products are claimed.

L12 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1994:245103 CAPLUS
DN **120:245103**
TI Fused imidazole and triazole derivatives as 5-HT1 receptor agonists
IN Street, Leslie Joseph
PA Merck Sharp and Dohme Ltd., UK
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323396	A1	19931125	WO 1993-GB936	19930506
	W: AU, CA, JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9342697	A1	19931213	AU 1993-42697	19930506
	AU 671836	B2	19960912		
	EP 640085	A1	19950301	EP 1993-911925	19930506
	EP 640085	B1	19990324		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	JP 07506825	T2	19950727	JP 1993-519971	19930506
	JP 3283260	B2	20020520		
	AT 178065	E	19990415	AT 1993-911925	19930506
	ES 2128427	T3	19990516	ES 1993-911925	19930506
	US 5514682	A	19960507	US 1994-335800	19941114
PRAI	GB 1992-10400	A	19920515		
	WO 1993-GB936	A	19930506		

OS MARPAT 120:245103
GI



AB The title compds. I [A1 = H, hydrocarbon, heterocyclic group, halogen, CN, CF3, (un)substituted NH2, etc.; E = direct bond, straight or branched C1-4 alkylene; F = Q; B = O, S, (un)substituted NH; R1 = (un)substituted aminoethyl, heterocyclyl; U = N, CR2; R2 = H, C1-6 alkyl; Q1 = residue of a 6-membered aromatic or heteroarom. nucleus containing 0-3 N atoms; V = N, CA2; A2 = H, C1-6 alkyl], useful in the treatment of migraine and associated conditions (no data), which are selective 5-HT1-like receptor agonists (no data), are prepared and I-containing formulations presented. Thus, 4-azabenzenimidazole was condensed with 1-fluoro-4-nitrobenzene, the intermediate hydrogenated to the corresponding aniline, diazotized and reduced with SnCl2 to the corresponding phenylhydrazine, and cyclized with N,N-dimethylbutanal dimethylacetal in the presence of oxalic acid, producing N, N-dimethyl-2-[5-(4-azabenzimidazol-1-yl)-1H-indol-3-yl]ethylamine oxalate, m.p. 116-118°.

L12 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:583306 CAPLUS
DN **115:183306**
TI Preparation of imidazoquinolines as H+K+ATPase inhibitors
IN Brown, Thomas Henry; Leach, Colin Andrew; Ife, Robert John; Keeling, David John
PA Smith Kline and French Laboratories Ltd., UK
SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

10697210

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 441036	A2	19910814	EP 1990-313398	19901210
	EP 441036	A3	19920226		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5420135	A	19950530	US 1990-783101	19901210
	JP 07215973	A2	19950815	JP 1990-410466	19901212
PRAI	GB 1989-28281		19891214		
OS	MARPAT 115:183306				

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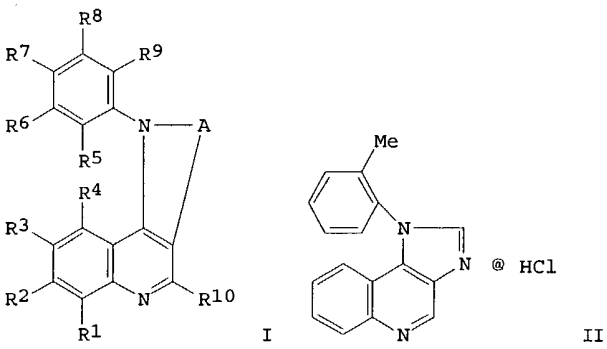

I

II

AB Title compds. I [R1-R4 = H, C1-4 alkyl, C1-4 alkoxy, Ph, C1-6 alkylthio, C1-4 alkanoyl, C1-6 (alkyl)(dialkyl)amino, H, F3C, O2N provided that ≥2 of R1-R4 = H; R5-R9 = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, halo, cyano, H2N, HO, etc.; R10 = H, C1-6 alkyl, C1-6 alkoxy, halo, HO, HOCH2, C1-6 alkylthio, HO(CH2)4nOH; n = 0-4; etc.; A = N:N, COS, CH:N] or a salt thereof, useful as inhibitors of acid secretion and bone resorption, are prepared A mixture of 3-amino-4-(2-methylphenylamino)quinoline (preparation given) and HCO2H was refluxed for 3 h to give an oil which was dissolved in EtOH/HCl to give II. Addnl. 2 I were prepared I inhibited H+K+ATPase activity with IC50 of 10-70 μM.